

Product Data Sheet

Product Name: MG 149
Cat. No.: GC11439

Chemical Properties

Cas. No. 1243583-85-8

Chemical Name 2-(4-heptylphenethyl)-6-hydroxybenzoic acid

SMILES CCCCCCCC1=CC=C(CCC2=C(C(O)=O)C(O)=CC=C2)C=C1

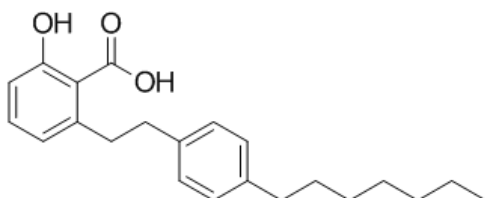
Formula $C_{22}H_{28}O_3$ M.Wt 340.46

Solubility $\geq 114\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Serum-deprived A549 and U2OS

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method Cells were cultured in a medium containing 0.5% serum for 48 hours. After treatment with 2 μ M MG 149 and 10 μ M C646 for 10 hours, 10 μ M doxorubicin was added to induce DNA damage, and the acetylation level of H4K16 was measured after 2 hours.

Reaction Conditions 2 μ M; 12h

Applications The inhibitor MG 149 that targets Tip60 prevented H4K16 acetylation in response to DNA damage induced by doxorubicin, but this acetylation was not affected by C646 that inhibits p300, in serum-deprived A549 and U2OS cells.

Animal experiment [2]:

Animal models Allergic asthma mice model

Preparation Method Mice were challenged for five consecutive days in two weeks with intranasal administration of 35 μ l (0.7mg/ml PBS) of whole HDM protein extract to construct allergic asthma model. In the HDM+MG 149 group, the anaesthetized mice were pretreated with intraperitoneal injection of MG 149 (1mg/kg) 60 minutes prior to HDM challenge. Control animals received only PBS.

Dosage form 1mg/kg; i.p.

Applications Administration of MG 149 significantly reduced the airway resistance, decreased total protein levels and total cell numbers in bronchoalveolar lavage fluid, relieved the inflammatory cell infiltration and mucus secretion and reduced collagen deposition around the airways.

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References:

- [1] García-González R, Morejón-García P, Campillo-Marcos I, Salzano M, Lazo PA. VRK1 Phosphorylates Tip60/KAT5 and Is Required for H4K16 Acetylation in Response to DNA Damage. *Cancers (Basel)*. 2020;12(10):2986.
- [2] Liu Y, Du J, Liu X, et al. MG149 inhibits histone acetyltransferase KAT8-mediated IL-33 acetylation to alleviate allergic airway inflammation and airway hyperresponsiveness. *Signal Transduct Target Ther*. 2021;6(1):321.

Background

MG 149 is an inhibitor of histone acetyltransferases (HAT) with IC₅₀ values of 74μM and 47μM for Tip60 and MOF, respectively^[1].

In vitro, treatment with 2μM MG 149 for 12h prevented H4K16 acetylation in response to DNA damage induced by doxorubicin in serum-deprived A549 and U2OS^[2]; Treatment with 100μM MG 149 for 30min significantly prevented UV-induced XPF acetylation in Hela^[3]. In the dose range of 0-30μM, MG 149 treatment for 20h inhibited the catalytic activity of TIP60 in wild-type 2D10 cells in a dose-dependent manner and reversed the HIV latent state^[4].

In vivo, 1mg/kg MG 149 administered via intraperitoneal injection could relieve HDM-induced Airway Hyperresponsiveness (AHR) and airway inflammation in murine allergic asthma models^[5]. MG 149 administered via intraperitoneal injection at a dose of 1.6mg/kg for 14 days blocked the increased glutamate release in ventral hippocampal CA1 (vCA1) after electrical stimulation in dorsomedial prefrontal cortex (dmPFC) of CRS mice^[6].

References:

- [1] Ghizzoni M, Wu J, Gao T, Haisma HJ, Dekker FJ, George Zheng Y. 6-alkylsalicylates are selective Tip60 inhibitors and target the acetyl-CoA binding site. *Eur J Med Chem*. 2012 Jan;47(1):337-44.
- [2] García-González R, Morejón-García P, Campillo-Marcos I, Salzano M, Lazo PA. VRK1 Phosphorylates Tip60/KAT5 and Is Required for H4K16 Acetylation in Response to DNA Damage. *Cancers (Basel)*. 2020;12(10):2986.
- [3] Wang J, He H, Chen B, et al. Acetylation of XPF by TIP60 facilitates XPF-ERCC1 complex

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assembly and activation. *Nat Commun.* 2020;11(1):786.

[4] Li Z, Mbonye U, Feng Z, et al. The KAT5-Acetyl-Histone4-Brd4 axis silences HIV-1 transcription and promotes viral latency. *PLoS Pathog.* 2018;14(4):e1007012.

[5] Liu Y, Du J, Liu X, et al. MG149 inhibits histone acetyltransferase KAT8-mediated IL-33 acetylation to alleviate allergic airway inflammation and airway hyperresponsiveness. *Signal Transduct Target Ther.* 2021;6(1):321.

[6] Wang Y, Xia M, Lu J, et al. TIP60 mediates stress-induced hypertension via promoting glutamate^{dmPFC}-to-vCA1 release. *Clin Exp Hypertens.* 2023;45(1):2259130.

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